

Modified oligonucleotides, their preparation and their use.

Patent Number: EP0680969
 Publication date: 1995-11-08
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 Requested Patent: ☐ EP0680969, A3
 Application Number: EP19950106230 19950426
 Priority Number(s): DE19944415370 19940502
 IPC Classification: C07H21/00; A61K31/70; C12Q1/68; C07H19/056
 EC Classification: C07H19/20, C07H19/056, C07H21/00C2, C12N15/11B1H, C12Q1/68
 Equivalents: AU1778295, AU698442, ☐ DE4415370, ☐ JP8003186
 Cited Documents:

Abstract

Oligonucleotides of formula (I) and their salts are new. In the formula, R1 = H, 1-18C alkyl, 2-18C alkenyl or alkynyl, 2-18C alkylcarbonyl, 3-19C alkenyl- or alkynyl-carbonyl, 6-14C aryl (1-8C) alkyl, a standard protecting gp. of formula -P(=W)(Z)(Z') (IIa); R2 = as R1, but not a protecting gp. and (IIa) is replaced by -P(=W)(Z)(X) (IIb); R2 = H; OH, 1-18C alkoxy, 1-6C alkenyloxy (esp. allyloxy), halo, N3 or NH2; a = O or CH2; n = 3-99; W = O, S or Se; V = O, S or NH; Y = O, S, NH or CH2; Y' = O, S, NH, (CH2)m or V(CH2)m; m = 1-18; X = OH or SH; U = OH, SH, SeH, 1-18C alkyl or alkoxy, 6-20C aryl, (6-14C)aryl-(1-8C) alkyl, NHR3, NR3R4 or (OCH2CH2)pO(CH2)qCH2R5 (III); R3 = 1-18C alkyl, 6-20C aryl, (6-14C)aryl-(1-8C)alkyl, or (CH2)c-(NH(CH2)c)d-NR6R6; c = 2-6; d = 0-6; each R6 = H or 1-6C alkyl (opt. substd. by 1-4C alkoxy); R4 = 1-18C alkyl, 6-20C aryl or (6-10C)aryl-(1-8C)alkyl, or with R3 completes a 5- to 6-membered heterocycle which may contain an additional O, S or N atom; p = 1-100; q = 0-22; R5 = H or a functional gp. (e.g. OH, NH2, 1-18C alkylamino, COOH, CONH2, COO(1-4C)alkyl or halo); Z and Z' are each OH, SH, SeH, 1-22C alkoxy, O(CH2)bNR6R7, 1-18C alkyl, 6-20C aryl, (6-14C)aryl-(1-8C)alkyl or alkoxy, 1-18C alkylthio, NHR3, NR3R4, gp. (III), or a gp. which facilitates intracellular uptake, serves as label for a DNA probe or, when (I) is hybridised to its target, causes binding to a crosslinkage/cleavage of this target; b = 1-6; R7 = 1-6C alkyl; or R6 and R7 together complete a 3- to 6-membered ring; aryl (which includes heteroaryl) is opt. substd. by 1-3 of COOH, NH2, NO2, 1-4C alkylamino, 1-6C alkoxy, OH, halo or CN; the brace indicates that R2 and phosphoryl can be in 2' or 3' positions; each nucleotide has D or L configuration and each B is alpha or beta position; each B = natural or unnatural base standard in nucleotide chemistry, or their prodrug forms, but at least one must be an 8-azapurine base.

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